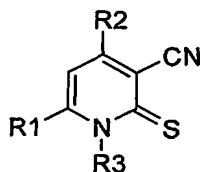


CLAIMS

What is claimed is:

- 5 1. A method of treating a subject for a bacterial infection, comprising the step of administering to the subject an effective amount of:

- i) a compound represented by the following structural formula, or a pharmaceutically acceptable salt thereof:



wherein

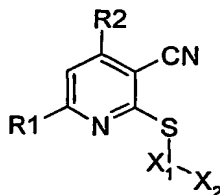
R1 and R2 are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R1 and R2 are optionally substituted with triazole, tetrazole, or one or more acyclic substituents provided that R1 is not thienyl when R2 is alkoxy-substituted phenyl;

15

R3 is -H or an optionally substituted C1-C8 aliphatic, C3-C8 cycloaliphatic, aryl, or heteroaryl group; or

20

- ii) a compound represented by the following structural formula, or a pharmaceutically acceptable salt thereof:



wherein

R1 and R2 are independently monocyclic aryl or heteroaryl groups,
wherein the groups represented by R1 and R2 are optionally
substituted with triazole, tetrazole, or one or more acyclic
substituents;

X1 is a bond or a C1-C3 alkylene chain that is optionally substituted
with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;

X2 is an aryl, heteroaryl or C3-C8 cycloaliphatic ring, wherein the group
represented by X2 is optionally substituted with triazole,
tetrazole, and/or one or more acyclic substituents;

or X2 is triazole, tetrazole, an acidic group, $-(CO)NR^aR^b$,
 $-(C=NH)NR^aR^b$, or $-(CS)NR^aR^b$, wherein

R^a and R^b are independently -H or an optionally
substituted group selected from aryl, heteroaryl,
C3-C8 cycloaliphatic, and C1-C4 alkyl; or

R^a and R^b , taken together with the nitrogen to which they
are bonded, are an optionally substituted non-
aromatic heterocyclic group.

2. The method of Claim 1 wherein the subject is human.
3. The method of Claim 2 wherein the bacterial infection is from a bacterium that expresses a *fabI* protein .
4. The method of Claim 2 wherein the bacterial infection is from *Acinetobacter baumannii*, *Bacillus anthracis*, *Citrobacter* sp., *Escherichia coli*, *Enterobacter* sp., *Enterococcus faecalis*, *Enterococcus faecium*, *Francisella tularensis*, *Haemophilus influenzae*, *Klebsiella* sp., *Listeria monocytogenes*, *Moraxella*

catarrhalis, *Mycobacterium tuberculosis*, *Neisseria meningitidis*, *Proteus mirabilis*, *Proteus vulgaris*, *Pseudomonas aeruginosa*, *Salmonella* sp., *Serratia* sp., *Shigella* sp., *Stenotrophomonas maltophilia*, *Staphylococcus aureus*, or *Staphylococcus epidermidis*.

5

5. The method of Claim 3 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.

10

6. The method of Claim 5 wherein the groups represented by R1 and R2 are optionally substituted with halogen, -OH, -R^d, -OR^d, triazole, tetrazole, carboxyl, sulfate, sulfonate, -NO₂, -NH₂, -NHCOR^d, -CONR^e₂, -NR^e₂, or -SO₂NH₂;

wherein

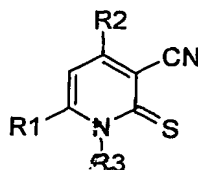
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each R^d is independently a C1-C4 alkyl optionally substituted with 1, 2, or 3 halogens;

each R^e is an independently selected C1-C4 alkyl, or both R^e, taken together with the nitrogen atom to which they are bonded, are a 4 to 7 membered non-aromatic heterocyclic group.

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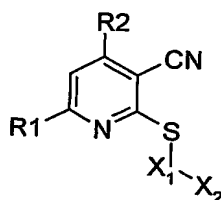
7. The method of Claim 6 wherein the compound is represented by the following structural formula:



25

8. The method of Claim 7 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, thienyl, furanyl, and pyrrolyl.

9. The method of Claim 8 wherein the groups represented by R1 and R2 are optionally substituted with halogen, -R^d, -OR^d, or -NO₂.
- 5 10. The method of Claim 9 wherein at least one of R1 and R2 is a phenyl group substituted with -F, -Cl, -NO₂, or -OCH₃.
11. The method of Claim 10 wherein R1 is 2-thienyl.
- 10 12. The method of Claim 6 wherein the compound is represented by the following structural formula:



wherein

- 15 X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, carboxyl, sulfate or sulfonate; and
- X2 is -(CO)NR^aR^b or an optionally substituted aryl or heteroaryl group.
13. The method of Claim 12 wherein X2 is an optionally substituted phenyl, pyridyl, thienyl, furanyl, or pyrrolyl.
- 20 14. The method of Claim 13 wherein X2 is a phenyl substituted with halogen, -R^d, -OR^d, -NHCOR^d, -CONR^e, triazole, tetrazole, -CH₂COOH, -CH₂CH₂COOH, carboxyl, -NO₂, sulfate, or sulfonate.
- 25 15. The method of Claim 14 wherein

X1 is a C1-C2 alkylene chain optionally substituted with methyl; and
X2 is a phenyl substituted with

a triazole, tetrazole, $-\text{CH}_2\text{CO}_2\text{H}$, $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$, carboxyl, or
 $-\text{NHCOCH}_3$; and

5 optionally one or more groups selected from halogen, $-\text{R}^d$, $-\text{OR}^d$,
 $-\text{NO}_2$, sulfate, and sulfonate.

16. The method of Claim 15 wherein X2 is a phenyl substituted with carboxyl or
 $-\text{NHCOCH}_3$.

10

17. The method of Claim 12 wherein

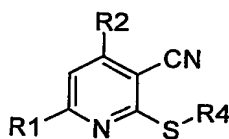
X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, or
carboxyl; and

15 X2 is a phenyl or heteroaryl group optionally substituted with halogen,
 $-\text{R}^d$, $-\text{OR}^d$, $-\text{NHCOR}^d$, $-\text{CONR}^e_2$, triazole, tetrazole, carboxyl,
 $-\text{NO}_2$, sulfate, or sulfonate.

18. The method of Claim 17 wherein X2 is an unsubstituted phenyl or heteroaryl
group.

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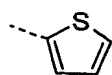
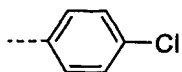
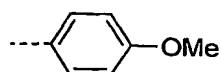
19. The method of Claim 12 wherein the compound is represented by the following
structural formula:



25

wherein

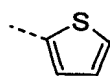
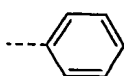
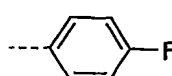
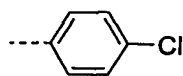
R1 is selected from structural formulas R1^a-R1^c:

R1^aR1^bR1^c

;

R2 is selected from structural formulas R2^a-R2^e:

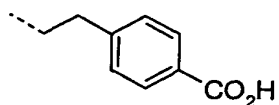
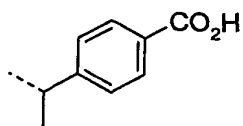
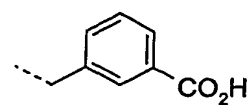
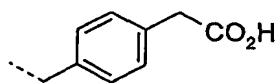
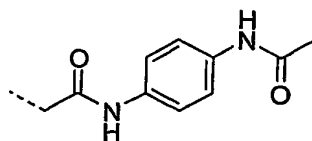
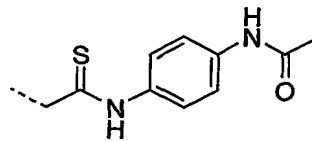
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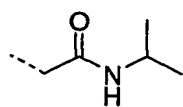
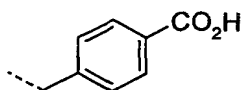
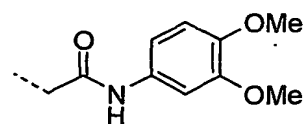
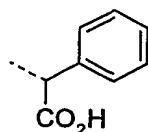
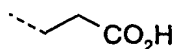
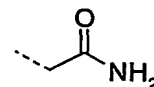
R2^aR2^bR2^cR2^dR2^e

; and

R4 is selected from structural formulas R4^a-R4^f:

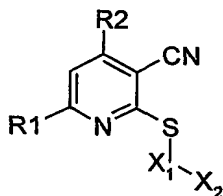
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R4^aR4^bR4^cR4^dR4^eR4^f

R4^gR4^hR4ⁱR4^jR4^kR4^l

20. The method of Claim 19 wherein R4 is selected from structural formulas R4^a-R4^j.

21. A compound represented by the following structural formula:



10

or a pharmaceutically acceptable salt thereof, wherein

R1 and R2 are independently monocyclic aryl or heteroaryl groups,
wherein the groups represented by R1 and R2 are optionally
substituted with triazole, tetrazole, or one or more acyclic
substituents;

15

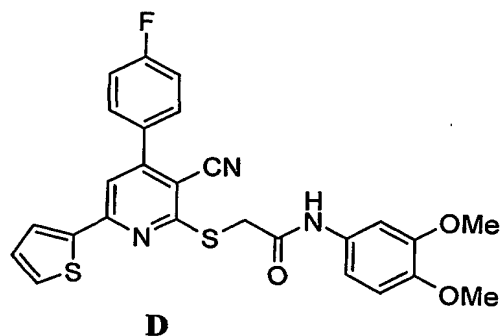
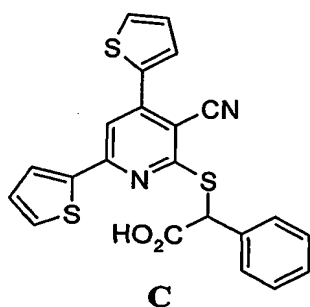
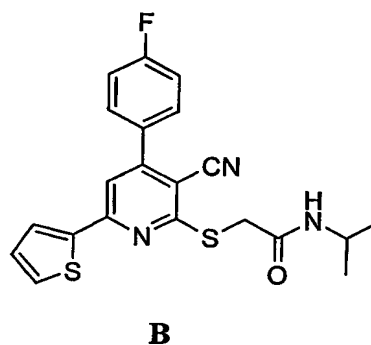
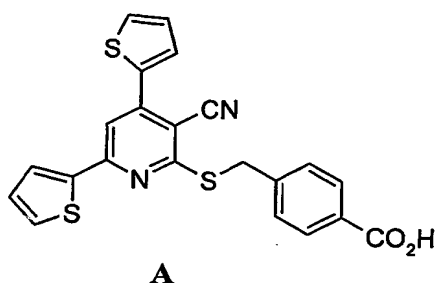
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted
with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;

X2 is an aryl or heteroaryl ring, wherein the group represented by X2 is optionally substituted with triazole, tetrazole, and/or one or more acyclic substituents;

or X2 is triazole, tetrazole, $-(CO)NR^aR^b$, $-(C=NH)NR^aR^b$, or $-(CS)NR^aR^b$, wherein

R^a and R^b are independently -H or an optionally substituted group selected from aryl, heteroaryl, and C1-C4 alkyl; provided that both R^a and R^b are not -H; and

provided that the compound is not represented by one of structural formulas A, B, C, or D:



22. The compound of Claim 21 wherein R1 and R2 are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.
- 5 23. The compound of Claim 22 wherein the groups represented by R1 and R2 are optionally substituted with halogen, -OH, -R^d, -OR^d, -NO₂, -NH₂, -NHCOR^d, -CONR^e₂, -NR^e₂, or -SO₂NH₂;
wherein
each R^d is independently a C1-C4 alkyl optionally substituted with 1, 2,
10 or 3 halogens;
each R^e is an independently selected C1-C4 alkyl, or both R^e, taken together with the nitrogen atom to which they are bonded, are a 4 to 7 membered non-aromatic heterocyclic group.
- 15 24. The compound of Claim 23 wherein
X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, -CH₂COOH, -CH₂CH₂COOH, carboxyl, sulfate or sulfonate; and
X2 is triazole, tetrazole, -(CO)NR^aR^b or an optionally substituted aryl or
20 heteroaryl group.
25. The compound of Claim 24 wherein X2 is an optionally substituted phenyl, pyridyl, thienyl, furanyl, or pyrrolyl.
- 25 26. The compound of Claim 25 wherein X2 is a phenyl substituted with halogen, -R^d, -OR^d, -NHCOR^d, -CONR^e₂, triazole, tetrazole, -CH₂COOH, -CH₂CH₂COOH, carboxyl, -NO₂, sulfate, or sulfonate.
27. The compound of Claim 26 wherein

X1 is a C1-C2 alkylene chain optionally substituted with methyl; and
X2 is a phenyl substituted with

a triazole, tetrazole, $-\text{CH}_2\text{CO}_2\text{H}$, $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$, carboxyl, or
 $-\text{NHCOCH}_3$; and

5 optionally at least one group selected from halogen, $-\text{R}^d$, $-\text{OR}^d$,
 $-\text{NO}_2$, sulfate, and sulfonate.

28. The compound of Claim 27 wherein X2 is a phenyl substituted with carboxyl or
 $-\text{NHCOCH}_3$.

10

29. The compound of Claim 24 wherein

X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, or
carboxyl; and

15

X2 is a phenyl or heteroaryl group optionally substituted with halogen,
 $-\text{R}^d$, $-\text{OR}^d$, $-\text{NHCOR}^d$, $-\text{CONR}^e$, triazole, tetrazole, carboxyl,
 $-\text{NO}_2$, sulfate, or sulfonate.

30. The compound of Claim 29 wherein X2 is an unsubstituted phenyl or heteroaryl
group.

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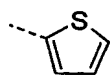
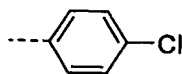
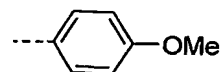
31. A compound represented by the following structural formula:



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wherein

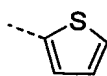
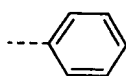
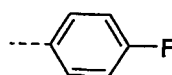
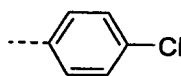
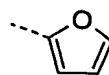
R1 is selected from structural formulas R1^a - R1^c :

R1^aR1^bR1^c

;

R2 is selected from structural formulas R2^a-R2^e:

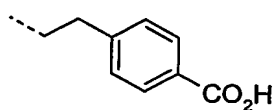
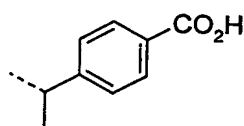
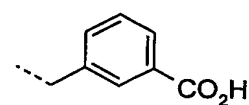
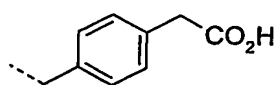
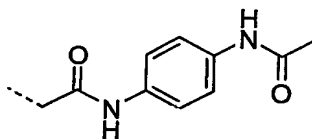
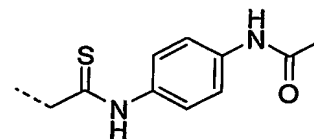
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R2^aR2^bR2^cR2^dR2^e

; and

R4 is selected from structural formulas R4^a-R4^f:

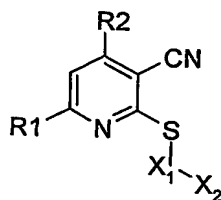
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R4^aR4^bR4^cR4^dR4^eR4^f

32. The compound of Claim 31 wherein

R1 is the group represented by structural formula R1^b;
R2 is the group represented by structural formula R2^a; and
R4 is the group represented by structural formula R4^f.

- 5 33. The compound of Claim 31 wherein
R1 is the group represented by structural formula R1^c;
R2 is the group represented by structural formula R2^d; and
R4 is the group represented by structural formula R4^h.
- 10 34. The compound of Claim 31 wherein R1 is the group represented by structural
formula R1^a.
35. The compound of Claim 34 wherein R2 is the group represented by structural
formula R2^a.
- 15 36. The compound of Claim 35 wherein R4 is selected from the groups represented
by structural formulas R4^a-R4^e.
37. The compound of Claim 31 wherein
20 R2 is selected from group represented by structural formulas R2^b, R2^c,
and R2^e; and
R4 is selected from group represented by structural formulas R4^e and
R4^g.
- 25 38. A pharmaceutical composition, comprising a pharmaceutically acceptable
carrier or diluent and a compound represented by the following structural
formula:



or pharmaceutically acceptable salts thereof, wherein

5 R₁ and R₂ are independently monocyclic aryl or heteroaryl groups, wherein the groups represented by R₁ and R₂ are optionally substituted with triazole, tetrazole, or one or more acyclic substituents;

X₁ is a bond or a C1-C3 alkylene chain that is optionally substituted with a C1-C4 alkyl, triazole, tetrazole, or an acidic group;

10 X₂ is an aryl or heteroaryl, wherein the group represented by X₂ is optionally substituted with triazole, tetrazole, and/or one or more acyclic substituents;

or X₂ is triazole, tetrazole, an acidic group, -(CO)NR^aR^b, -(C=NH)NR^aR^b, or -(CS)NR^aR^b, wherein

15 R^a and R^b are independently -H or an optionally substituted group selected from aryl, heteroaryl, and C1-C4 alkyl, provide that if both R^a and R^b are -H, neither R₁ nor R₂ are furanyl or pyridyl.

20 39. The composition of Claim 38 wherein R₁ and R₂ are independently selected from optionally substituted phenyl, pyridyl, pyrazinyl, pyrimidyl, triazinyl, thienyl, furanyl, pyrrolyl, pyrazolyl, thiazolyl, isothiazolyl, oxazolyl, and isoxazolyl.

25 40. The composition of Claim 39 wherein the groups represented by R₁ and R₂ are optionally substituted with halogen, -OH, -R^d, -OR^d, triazole, tetrazole,

carboxyl, sulfate, sulfonate, -NO₂, -NH₂, -NHCOR^d, -CONR^e₂, -NR^e₂, or -SO₂NH₂;

wherein

each R^d is independently a C1-C4 alkyl optionally substituted with 1, 2, or 3 halogens;

each R^e is an independently selected C1-C4 alkyl, or both R^e, taken together with the nitrogen atom to which they are bonded, are a 4 to 7 membered non-aromatic heterocyclic group.

41. The composition of Claim 40 wherein

X1 is a bond or a C1-C3 alkylene chain that is optionally substituted with C1-C4 alkyl, triazole, tetrazole, carboxyl, sulfate or sulfonate; and

X2 is triazole, tetrazole, carboxyl, -(CO)NR^aR^b or an optionally substituted aryl or heteroaryl group.

42. The composition of Claim 41 wherein X2 is an optionally substituted phenyl, pyridyl, thienyl, furanyl, or pyrrolyl.

43. The composition of Claim 42 wherein X2 is a phenyl substituted with halogen, -R^d, -OR^d, -NHCOR^d, -CONR^e₂, triazole, tetrazole, -CH₂COOH, -CH₂CH₂COOH, carboxyl, -NO₂, sulfate, or sulfonate.

44. The composition of Claim 43 wherein

X1 is a C1-C2 alkylene chain optionally substituted with methyl; and

X2 is a phenyl substituted with

a triazole, tetrazole, $-\text{CH}_2\text{CO}_2\text{H}$, $-\text{CH}_2\text{CH}_2\text{CO}_2\text{H}$, carboxyl, or $-\text{NHCOCH}_3$; and

optionally one or more groups selected from halogen, $-\text{R}^d$, $-\text{OR}^d$, $-\text{NO}_2$, sulfate, and sulfonate.

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45. The composition of Claim 44 wherein X2 is a phenyl substituted with carboxyl or $-\text{NHCOCH}_3$.

46. The composition of Claim 41 wherein

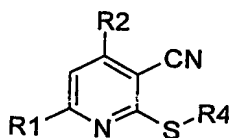
10 X1 is a C1-C2 alkylene chain substituted with triazole, tetrazole, $-\text{CH}_2$ or carboxyl; and

X2 is a phenyl or heteroaryl group optionally substituted with halogen, $-\text{R}^d$, $-\text{OR}^d$, $-\text{NHCOR}^d$, $-\text{CONR}^e$, triazole, tetrazole, carboxyl, $-\text{NO}_2$, sulfate, or sulfonate.

15

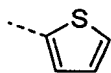
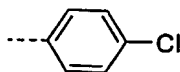
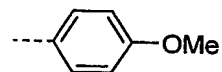
47. The composition of Claim 46 wherein X2 is an unsubstituted phenyl or heteroaryl group.

48. The composition of Claim 47 wherein the compound is represented by the
20 following structural formula:



wherein

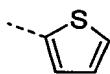
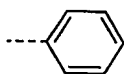
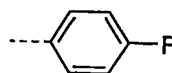
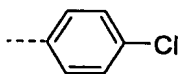
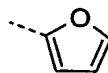
R1 is selected from structural formulas R1^a-R1^c:

R1^aR1^bR1^c

;

R2 is selected from structural formulas R2^a-R2^e:

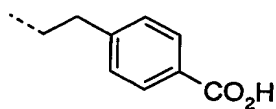
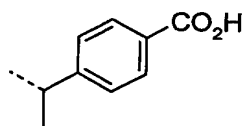
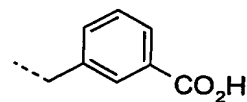
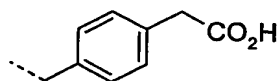
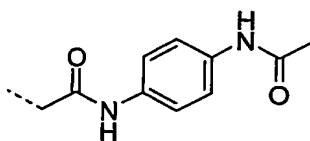
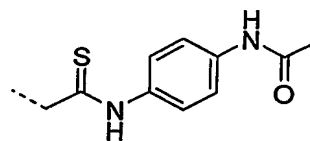
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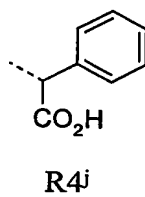
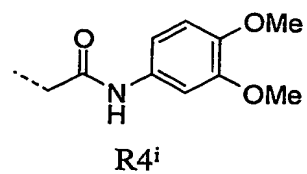
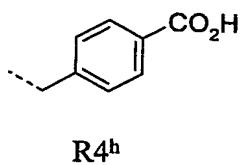
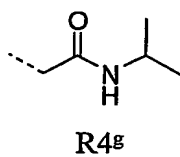
R2^aR2^bR2^cR2^dR2^e

; and

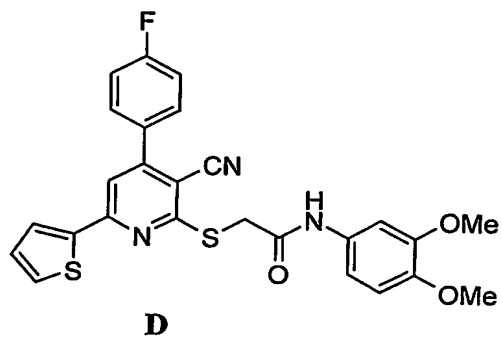
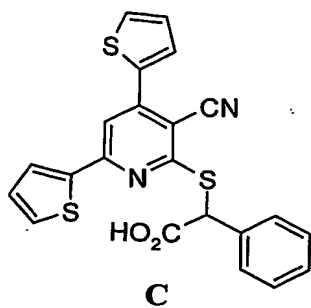
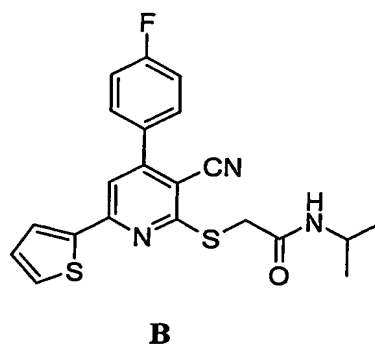
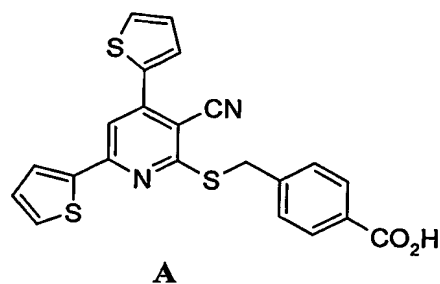
R4 is selected from structural formulas R4^a-R4^j:

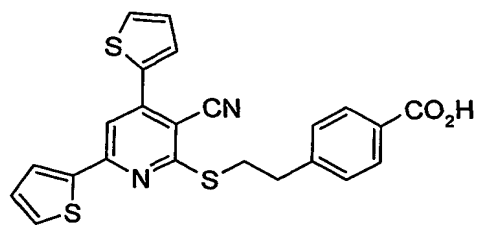
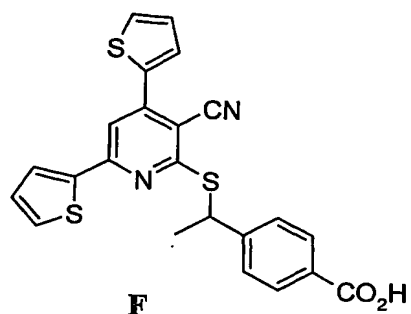
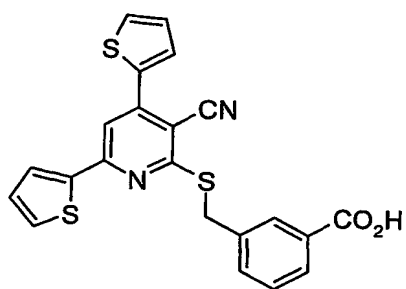
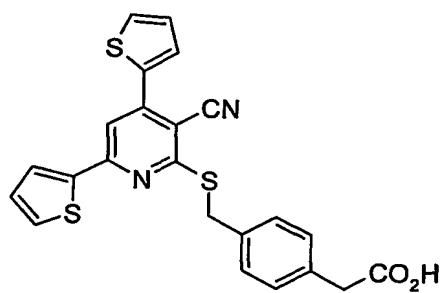
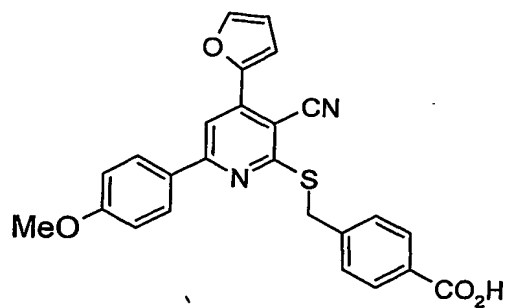
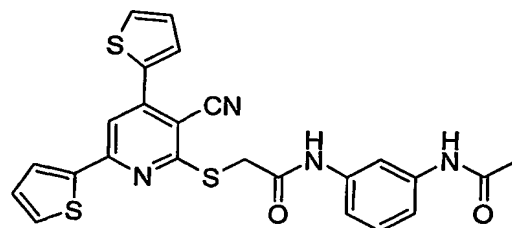
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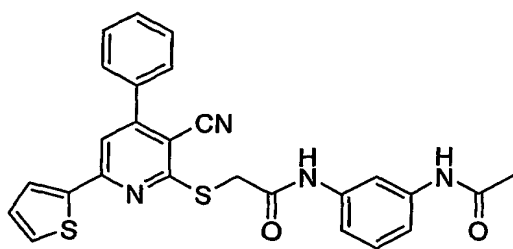
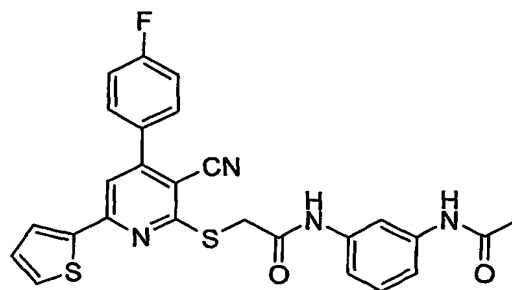
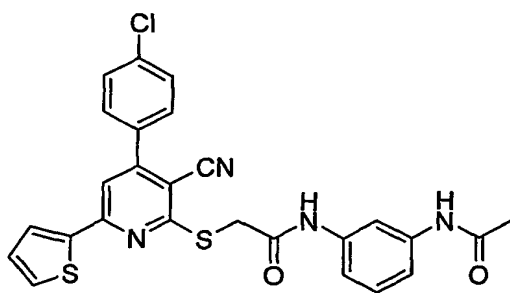
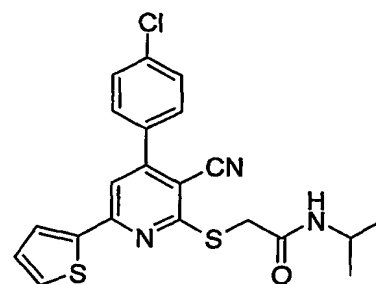
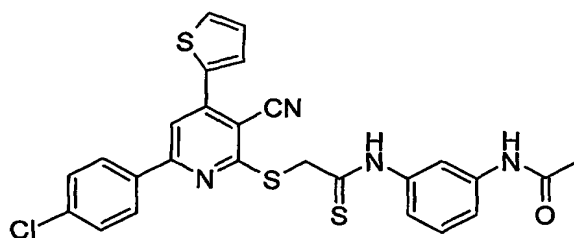
R4^aR4^bR4^cR4^dR4^eR4^f



- 5 49. The composition of Claim 48 wherein the compound is represented by one of structural formulas A to O:

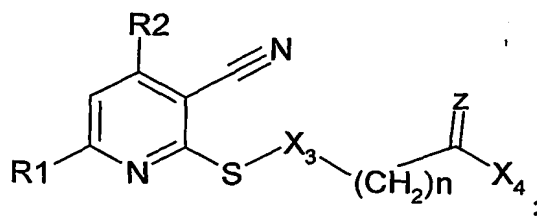


**E****F****G****H****I****J**

**K****L****M****N****O**

- 5 50. The composition of Claim 49 wherein the compound is represented by one of structural formulas A, C, E, F, G, H, or I.

51. A compound represented by structural formula Ic:

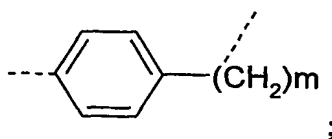
**Ic**

or a pharmaceutically acceptable salt thereof, wherein:

5 R1 and R2 are independently monocyclic aryl or heteroaryl groups,
wherein the groups represented by R1 and R2 are optionally
substituted with triazole, tetrazole, or one or more acyclic
substituents;

Z is O, S or NR^f;

10 X3 is: i) a bond; ii) a C1-C3 alkylene chain that is optionally substituted
with a C1-C4 alkyl group or an aromatic group; or iii) a group
represented by:



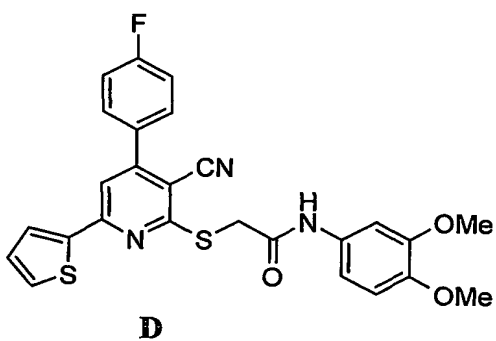
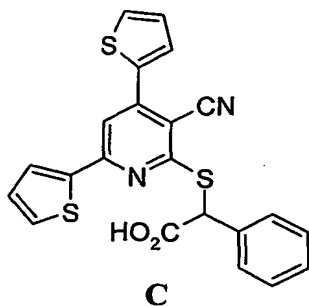
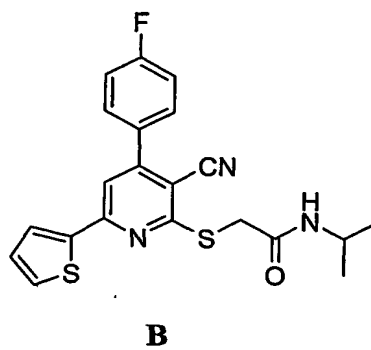
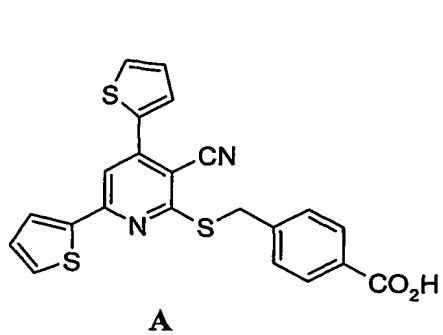
n and m are independently 0 or 1;

X4 is -OH or -NR^gR^h;

15 R^f is H or a C1-C4 alkyl group; and

R^g and R^h are independently -H or an optionally substituted group
selected from: i) aryl that is optionally substituted with one or
two C1-C4 alkyl groups, alkoxy groups or acetamido groups; ii)
heteroaryl; iii) C3-C8 cycloaliphatic or C1-C6 straight or
20 branched alkyl

provided that the compound is not represented by one of structural formulas
A, B, C, or D:



- 5 52. A method of treating a subject for a bacterial infection comprising administering to the subject of an effective of the compound of Claim 51.